

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant(s): Nowakowski, et al.

Group Art Unit:

Serial No:

Examiner:

Filed: Herewith

For: Process for the Preparation of Sulphonamide-substituted Imidazotriazinones

PRELIMINARY AMENDMENT

Assistant Commissioner for Patents

Washington, DC 20231

Sir:

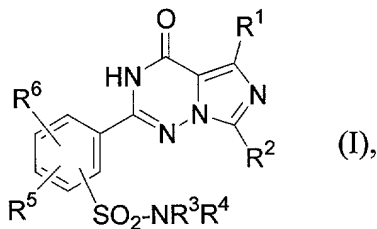
This preliminary amendment is submitted in the above-identified application filed on even date herewith.

Please amend the above-identified application as follows:

In the claims:

Please amend claim 1 as shown below:

1. (Amended) Process for the preparation of compounds of the formula I



in which

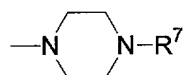
R¹ represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

R² represents straight-chain or branched alkyl having up to 4 carbon atoms,

R³ and R⁴ are identical or different and represent a straight chain or branched alkyl chain having up to 5 carbon atoms, which is optionally substituted up to two times in an identical or different manner by hydroxyl or methoxy,

or

R³ and R⁴, together with the nitrogen atom, form a piperidinyl, morpholinyl or thiomorpholinyl ring or a radical of the formula



in which

R⁷ denotes hydrogen, formyl, straight-chain or branched acyl or alkoxy carbonyl each having up to 6 carbon atoms, or straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl, carboxyl, straight-chain or branched alkoxy or alkoxy carbonyl each having up to 6 carbon atoms, or denotes C₃₋₈-cycloalkyl,

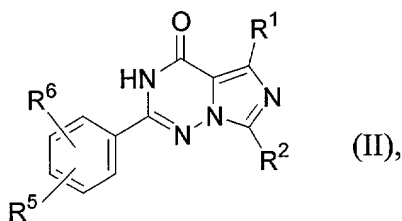
and the heterocycles mentioned under R³ and R⁴, formed together with the nitrogen atom, are optionally mono-to disubstituted, in an identical or different manner, if appropriate also geminally, by hydroxyl, formyl, carboxyl, straight-chain or branched acyl or alkoxy carbonyl each having up to 6 carbon atoms,

and/or the heterocycles mentioned under R^3 and R^4 , formed together with the nitrogen atom, are optionally substituted by straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl or carboxyl,

and/or the heterocycles mentioned under R^3 and R^4 , formed together with the nitrogen atom, are optionally substituted by piperidinyl or pyrrolidinyl linked via N,

R^5 and R^6 are identical or different and represent hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or straight-chain or branched alkoxy having up to 6 carbon atoms,

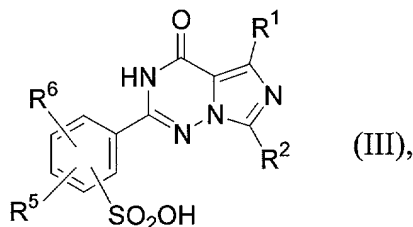
characterized in that compounds of the formula (II)



in which

R^1 , R^2 , R^5 and R^6 have the meanings indicated above,

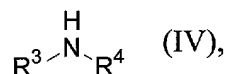
are reacted with sulphuric acid to give compounds of the formula (III)



in which

R^1 , R^2 , R^5 and R^6 have the meanings indicated above,

and then with thionyl chloride and the product thus obtained is reacted in situ in an inert solvent with an amine of the formula (IV)



in which

R^3 and R^4 have the meaning indicated above,

and, if appropriate, reacted to give the corresponding salts, hydrates or N-oxides.

Remarks / Explanations

As a result of this preliminary amendment, claims 1-5 remain pending in the application.

No new matter has been added.

Claim 1 has been amended in structural formula (I) to show the substituents on the left-most ring more correctly, and in structural formula (II) to move the group R^5 away from the ring for clarity.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached page is captioned **“Version with markings to show changes made.”**

Respectfully submitted,

William F. Gray

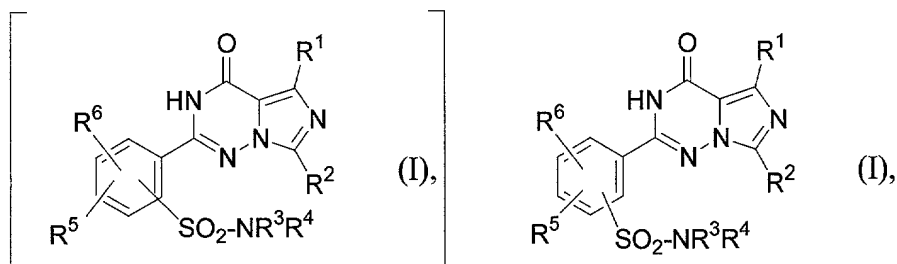
West Haven, CT 06516-4175

Version with markings to show changes made:

In the claims:

Claim 1 has been amended as shown below:

1. (Amended) Process for the preparation of compounds of the formula I



in which

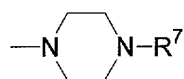
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or

R³ and R⁴, together with the nitrogen atom, form a piperidiny, morpholinyl or thiomorpholinyl ring or a radical of the formula



in which

R^7 denotes hydrogen, formyl, straight-chain or branched acyl or alkoxy carbonyl each having up to 6 carbon atoms, or straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl, carboxyl, straight-chain or branched alkoxy or alkoxy carbonyl each having up to 6 carbon atoms, or denotes C_{3-8} -cycloalkyl,

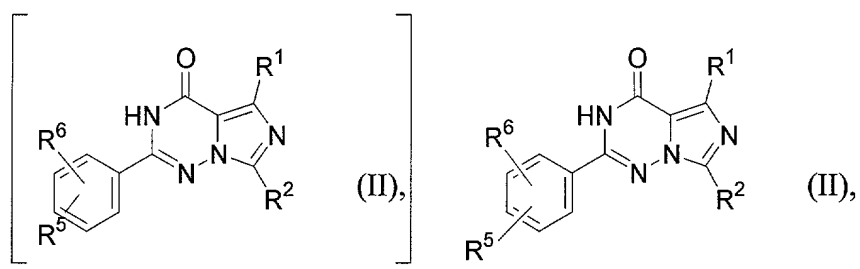
and the heterocycles mentioned under R^3 and R^4 , formed together with the nitrogen atom, are optionally mono-to disubstituted, in an identical or different manner, if appropriate also geminally, by hydroxyl, formyl, carboxyl, straight-chain or branched acyl or alkoxy carbonyl each having up to 6 carbon atoms,

and/or the heterocycles mentioned under R^3 and R^4 , formed together with the nitrogen atom, are optionally substituted by straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl or carboxyl,

and/or the heterocycles mentioned under R^3 and R^4 , formed together with the nitrogen atom, are optionally substituted by piperidinyl or pyrrolidinyl linked via N,

R^5 and R^6 are identical or different and represent hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or straight-chain or branched alkoxy having up to 6 carbon atoms,

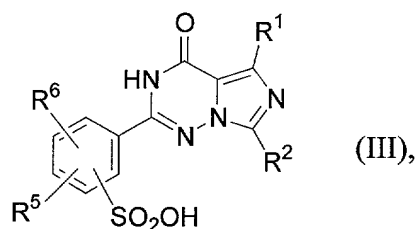
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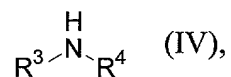
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in which

R^1 , R^2 , R^5 and R^6 have the meanings indicated above,

and then with thionyl chloride and the product thus obtained is reacted in situ in an inert solvent with an amine of the formula (IV)



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